

Type	L #	Hits	Search Text	DBs	Time Stamp	Comments	Err or Def inis tio n
1	BRS	L1	0 ac\$1trp\$1arg\$1tyr\$1nh2	USPAT; US - PGPUB; EPO; JPO; DERWENT	2002/12/2 3 10:23		0
2	BRS	L2	1172 neuropeptide adj Y	USPAT; US - PGPUB; EPO; JPO; DERWENT	2002/12/2 3 10:23		0
3	BRS	L3	476 (neuropeptide adj Y) same (agonist or antagonist)	USPAT; US - PGPUB; EPO; JPO; DERWENT	2002/12/2 3 10:24		0
4	BRS	L4	268 neuropeptide adj Y adj receptor	USPAT; US - PGPUB; EPO; JPO; DERWENT	2002/12/2 3 10:24		0
5	BRS	L5	133 3 same 4	USPAT; US - PGPUB; EPO; JPO; DERWENT	2002/12/2 3 10:24		0
6	BRS	L6	174 trp adj arg adj tyr	USPAT; US - PGPUB; EPO; JPO; DERWENT	2002/12/2 3 10:25		0
7	BRS	L7	0 5 same 6	USPAT; US - PGPUB; EPO; JPO; DERWENT	2002/12/2 3 10:25		0
8	BRS	L8	50 cationized adj albumin	USPAT; US - PGPUB; EPO; JPO; DERWENT	2002/12/2 3 10:26		0

Type	L #	Hits	Search Text	DBS	Time Stamp	Comments	Err or	Err or
							Def ro	ro
							ini	rs
9	BRS	L9	5924 polysine	USPAT; US - PGPUB; EPO; JPO; DERWENT	2002/12/2 3 10:27		0	0
10	BRS	L10	0	5 same (8 or 9) same conjugate	USPAT; US - PGPUB; EPO; JPO; DERWENT	2002/12/2 3 10:27		0
11	BRS	L11	10774 (pharmaceutical or therapeutic) adj composition	USPAT; US - PGPUB; EPO; JPO; DERWENT	2002/12/2 3 10:28		0	0
12	BRS	L12	1	5 same 11	USPAT; US - PGPUB; EPO; JPO; DERWENT	2002/12/2 3 10:30		0
13	BRS	L13	2	balasubramanium adj ambikaipakan.in.	USPAT; US - PGPUB; EPO; JPO; DERWENT	2002/12/2 3 10:32		0
14	BRS	L14	2	chance adj william.in.	USPAT; US - PGPUB; EPO; JPO; DERWENT	2002/12/2 3 10:32		0
15	BRS	L15	2	chance adj william.in.	USPAT; US - PGPUB; EPO; JPO; DERWENT	2002/12/2 3 10:32		0

> d his

(FILE 'HOME' ENTERED AT 10:34:45 ON 23 DEC 2002)

FILE 'MEDLINE, CAPLUS, BIOSIS, EMBASE, SCISEARCH, AGRICOLA'
ENTERED AT

10:35:09 ON 23 DEC 2002

L1 5 S AC-TRP-ARG-TYR-NH2
L2 1 DUPLICATE REMOVE L1 (4 DUPLICATES REMOVED)
L3 45216 S NEUROPEPTIDE Y
L4 6708 S L3 (P) (AGONIST OR ANTAGONIST)
L5 3623 S NEUROPEPTIDE (W) Y (W) RECEPTOR
L6 1053 S L4 (P) L5
L7 33 S TRP-ARG-TYR
L8 0 S L6 (P) L7
L9 148 S CATIONIZED ALBUMIN
L10 15914 S POLYLYSINE
L11 0 S L6 (P) (L9 OR L10) (P) CONJUGATE
L12 24045 S (PHARMACEUTICAL OR THERAPEUTIC) (W) COMPOSITION
L13 9 S L12 (P) L6
L14 9 DUPLICATE REMOVE L13 (0 DUPLICATES REMOVED)

=> log y

FILE | HOME | ENTERED AT 10:34:45 ON 23 DEC 2002

=> file medline caplus biosis embase scisearch agricola
COST IN U.S. DOLLARS SINCE FILE ENTRY 0.21
TOTAL SESSION 0.21
FULL ESTIMATED COST

FILE 'MEDLINE' ENTERED AT 10:35:09 ON 23 DEC 2002

FILE 'CAPLUS' ENTERED AT 10:35:09 ON 23 DEC 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'BIOSIS' ENTERED AT 10:35:09 ON 23 DEC 2002
COPYRIGHT (C) 2002 BIOLOGICAL ABSTRACTS INC. (R)

FILE 'EMBASE' ENTERED AT 10:35:09 ON 23 DEC 2002
COPYRIGHT (C) 2002 Elsevier Science B.V. All rights reserved.

FILE 'SCISEARCH' ENTERED AT 10:35:09 ON 23 DEC 2002
COPYRIGHT (C) 2002 Institute for Scientific Information (ISI) (R)

FILE 'LAGRICOLA' ENTERED AT 10:35:09 ON 23 DEC 2002

=> s ac-trp-arg-tyr-nh2
I.1 5 AC-TRP-ARG-TYR-NH2

```
=> duplicate remove l1
DUPLICATE PREFERENCE IS 'MEDLINE, CAPLUS, BIOSIS, EMBASE, SCISEARCH'
KEEP DUPLICATES FROM MORE THAN ONE FILE? Y/(N):n
PROCESSING COMPLETED FOR L1
l1                      1 DUPLICATE REMOVE L1 (4 DUPLICATES REMOVED)
```

=> d 12 1 ibib abs

L2 ANSWER 1 OF 1 MEDLINE DUPLICATE 1
ACCESSION NUMBER: 1998398379 MEDLINE
DOCUMENT NUMBER: 98398379 PubMed ID: 9729264
TITLE: WRYamide, a NPY-based tripeptide that antagonizes feeding
in rats.
AUTHOR: Chance W T; Tao Z; Sheriff S; Balasubramaniam A
CORPORATE SOURCE: Department of Surgery, University of Cincinnati Medical
Center, 231 Bethesda Avenue, Cincinnati, OH 45267, USA.
CONTRACT NUMBER: GM 47122 (NIGMS)
SOURCE: BRAIN RESEARCH, (1998 Aug 24) 803 (1-2) 39-43.
Journal code: 0045503. ISSN: 0006-8993.
PUB. COUNTRY: Netherlands
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 199905
ENTRY DATE: Entered STN: 19990607
Last Updated on STN: 19990607
Entered Medline: 19990526

AB Entered Medline: 19990526
Modifications of (D-Trp32) neuropeptide Y (NPY) led to the development of potential peptide-based lower molecular weight (500-800 Da) NPY feeding antagonists. One compound, WRYamide (N - $\text{***Ac***}-\text{***Trp***}-\text{***Arg***}-\text{***Tyr***}-\text{***NH2***}$), blocked NPY-induced feeding for 1 to 4 h when injected intrahypothalamically (i.h.t.) at 1 to 40 microgram. Schedule-induced feeding was also antagonized for up to 24 h by 20 microgram of WRYamide, i.h.t. Injection of 2.5 mg/kg (1 mg/rat) of WRYamide, i.v., also reduced significantly schedule-induced feeding for 4 h. A conditioned taste aversion could not be classically conditioned to saccharin using WRYamide as the unconditioned stimulus. These results may lead to the development of systemically active anti-obesity drugs.
Copyright 1998 Elsevier Science B.V.

=> s neuropeptide y

=> s 13 (p) (agonist or antagonist)
 L4 6708 L3 (P) (AGONIST OR ANTAGONIST)

=> s neuropeptide (w) y (w) receptor
 L5 3623 NEUROPEPTIDE (W) Y (W) RECEPTOR

=> s 14 (p) 15
 L6 1053 L4 (P) L5

=> s trp-arg-tyr
 L7 33 TRP-ARG-TYR

=> s 16 (p) 17
 L8 0 L6 (P) L7

=> s cationized albumin
 L9 148 CATIONIZED ALBUMIN

=> s polylysine
 L10 15914 POLYLYSINE

=> s 16 (p) (l9 or l10) (p) conjugate
 L11 0 L6 (P) (L9 OR L10) (P) CONJUGATE

=> s (pharmaceutical or therapeutic) (w) composition
 L12 24045 (PHARMACEUTICAL OR THERAPEUTIC) (W) COMPOSITION

=> s l12 (p) 16
 L13 9 L12 (P) L6

=> duplicate remove l13
 PROCESSING COMPLETED FOR L13
 L14 9 DUPLICATE REMOVE L13 (0 DUPLICATES REMOVED)

=> d l14 1-9 ibib abs

L14 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2002 ACS
 ACCESSION NUMBER: 2002:675993 CAPLUS
 DOCUMENT NUMBER: 137:216874
 TITLE: Acylated piperidine derivatives, specifically
 1-(pyrrolidinylcarbonyl)piperidines,
 1-(piperidinylcarbonyl)piperidines, and analogs, as
 melanocortin-4 receptor agonists, and their
 pharmaceutical compositions and therapeutic uses
 INVENTOR(S): Ujjainwalla, Feroze; Chu, Lin; Goulet, Mark T.; Lee,
 Bonnie; Warner, Daniel; Wyvratt, Matthew J.
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA
 SOURCE: PCT Int. Appl., 112 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002068388	A2	20020906	WO 2002-US5724	20020225
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
PRIORITY APPLN. INFO.:			US 2001-272258P	P 20010228
			US 2001-300118P	P 20010622

OTHER SOURCE(S): MARPAT 137:216874

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Certain novel 4-substituted N-acylated piperidine derivs., specifically I, are agonists of the human melanocortin receptor(s) and, in particular, are selective agonists of the human melanocortin-4 receptor (MC-4R) [wherein: p = 1 or 2; q = 0, 1, or 2; n = 0, 1, or 2; R1 = H, amidino, alkylminoyl, (un)substituted alkyl, (CH₂)_n-G1 [G1 = (un)substituted cycloalkyl, Ph, naphthyl, or heteroaryl]; R2 = (un)substituted Ph, naphthyl, or heteroaryl; X = alkyl, (CH₂)_n-G2 [G2 = (un)substituted cycloalkyl, Ph, naphthyl, heteroaryl, heterocyclyl, cyano, CONH₂, CO₂H, OH, NH₂, and various derivs.] where any of (CH₂)_n may also be substituted; including pharmaceutically acceptable salts]. They are therefore useful for the treatment, control, or prevention of diseases and disorders responsive to the activation of MC-4R, such as obesity, diabetes, sexual dysfunction, including erectile dysfunction and female sexual dysfunction. Approx. 180 invention compds. I and approx. 25 intermediates were prep'd. For instance, (2-bromo-5-chlorophenyl)acetic acid underwent a sequence of Me esterification, coupling with tert-Bu 4-[[[(trifluoromethyl)sulfonyl]oxy]-3,6-dihydropyridine-1(2H)-carboxylate via a boronate ester, removal of the BOC group, and amidation with (3S,4R)-1-(tert-butyl)-4-(2,4-difluorophenyl)pyrrolidine-3-carboxylic acid. The unsatd. amide-ester underwent hydrogenation, sapon. of the ester, and amidation with MeNH₂.HCl, to give title compd. II. Representative compds. I bound to cloned human MC-4R in vitro with IC₅₀ values generally below 2 .mu.M, and also acted as agonists toward cloned human MCR in a functional assay with EC₅₀ values less than 1 .mu.M.

L14 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2002:675992 CAPLUS

DOCUMENT NUMBER: 137:216873

TITLE: Acylated piperidine derivatives, specifically
1-(pyrrolidinylcarbonyl)piperidines,
1-(piperidinylcarbonyl)piperidines, and analogs, as
melanocortin-4 receptor agonists, and their
pharmaceutical compositions and therapeutic uses

INVENTOR(S): Goulet, Mark T.; Nargund, Ravi P.; Sebhate, Iyassu K.;
Ujjainwalla, Feroze; Walsh, Thomas F.; Warner, Daniel;
Young, Jonathan R.; Bakshi, Raman K.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Ye, Zhixiong

SOURCE: PCT Int. Appl., 138 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002068387	A2	20020906	WO 2002-US5623	20020225
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 2001-272258P	P 20010228
			US 2001-300572P	P 20010622

OTHER SOURCE(S): MARPAT 137:216873

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Certain novel 4-substituted N-acylated piperidine derivs., specifically I, are agonists of the human melanocortin receptor(s) and, in particular, are selective agonists of the human melanocortin-4 receptor (MC-4R) [wherein: p = 1 or 2; q = 0, 1, or 2; n = 0, 1, or 2; R1 = H, amidino, alkyliminoyl, (un)substituted alkyl, (CH₂)_n-G1 [G1 = (un)substituted cycloalkyl, Ph, naphthyl, or heteroaryl]; R2 = (un)substituted Ph, naphthyl, or heteroaryl; X = alkyl, (CH₂)_n-G2 [G2 = (un)substituted cycloalkyl, Ph, naphthyl, heteroaryl, heterocyclyl, cyano, CONH₂, CO₂H, OH, NH₂, and various derivs.]; Y = (un)substituted alkyl, alkenyl, (CH₂)_n-G3 [G3 = (un)substituted cycloalkyl, Ph, naphthyl, heteroaryl, or heterocyclyl]; including pharmaceutically acceptable salts]. They are therefore useful for the treatment, control, or prevention of diseases and disorders responsive to the activation of MC-4R, such as obesity, diabetes, sexual dysfunction, including erectile dysfunction and female sexual dysfunction. Approx. 200 invention compds. I and approx. 80 intermediates were prep'd. For instance, amidation of (.-.-)-trans-1-(tert-butoxycarbonyl)-3-(4-fluorophenyl)piperidine-4-carboxylic acid with 4-cyclohexyl-4-[(4,4-dimethyl-2-oxo-1,3-oxazolidin-3-yl)methyl]piperidine HCl, followed by N-deprotection with removal of BOC using HCl, and reductive N-methylation using paraformaldehyde and NaBH₃CN, gave title compd. (.-.-)-trans-II, isolated as the trifluoroacetate salt. Representative compds. I bound to cloned human MC-4R in vitro with IC₅₀ values generally below 2 .mu.M, and also acted as agonists toward cloned human MCR in a functional assay with EC₅₀ values less than 1 .mu.M.

L14 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2002:675785 CAPLUS

DOCUMENT NUMBER: 137:216872

TITLE: Acylated piperidine derivatives, specifically 1-[(aminocycloalkyl)carbonyl]piperidines, as melanocortin-4 receptor agonists, and their pharmaceutical compositions and therapeutic uses

INVENTOR(S): Goulet, Mark T.; Nargund, Ravi P.; Ujjainwalla, Feroze; Walsh, Thomas F.; Warner, Daniel

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 106 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002067869	A2	20020906	WO 2002-US8002	20020225
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:		US 2001-272259P	P	20010228
OTHER SOURCE(S):		MARPAT	137:216872	
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Certain novel 4-substituted N-acylated piperidine derivs., specifically I, are agonists of the human melanocortin receptor(s) and, in particular, are selective agonists of the human melanocortin-4 receptor (MC-4R) [wherein: p = 1 or 2; q = 0, 1, or 2; n = 0, 1, or 2; R₁, R₂ = H, amidino, alkyliminoyl, (un)substituted alkyl, (CH₂)_n-G1 [G1 = (un)substituted cycloalkyl, Ph, naphthyl, or heteroaryl]; or NR₁R₂ = 4- to 8-membered mono- or bicyclic ring system optionally contg. an addn. O, S, or N-alkyl atom(s); R₃ = (un)substituted Ph, naphthyl, or heteroaryl; X = alkyl, (CH₂)_n-G2 [G2 = (un)substituted cycloalkyl, Ph, naphthyl, heteroaryl,

heterocyclyl, cyano, CONH₂, CO₂H, OH, NH₂, and various derivs.]; V = H, (un) substituted alkyl, alkenyl, cycloalkyl, (CH₂)_n-G₃ [G₃ = (un) substituted cycloalkyl, Ph, naphthyl, heteroaryl, or heterocyclyl]; including pharmaceutically acceptable salts]. They are therefore useful for the treatment, control, or prevention of diseases and disorders responsive to the activation of MC-4R, such as obesity, diabetes, sexual dysfunction, including erectile dysfunction and female sexual dysfunction. Approx. 40 invention compds. I and approx. 20 intermediates were prep'd. For instance, the intermediate ester (.+-.)-trans-Me 2-(4-chlorophenyl)-4-oxocyclohexanecarboxylate (prepn. given) was saponified and the resulting acid was used to amide 4-cyclohexyl-4-[(4,4-dimethyl-2-oxo-1,3-oxazolidin-3-yl)methyl]piperidine HCl. The obtained keto amide was aminated using dimethylamine, Ti(O*Pr*-iso)₄, and NaBH₄, to give epimeric invention compds. .alpha.- and .beta.-II, isolated sep. as the trifluoroacetate salts. Representative compds. I bound to cloned human MC-4R in vitro with IC₅₀ values generally below 2 .mu.M, and also acted as agonists toward cloned human MCR in a functional assay with EC₅₀ values less than 1 .mu.M.

L14 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2002:575765 CAPLUS

DOCUMENT NUMBER: 137:140435

TITLE: Benzopyrancarboxylic acid derivatives with PPAR agonist activity for the treatment of diabetes and lipid disorders, and their preparation, pharmaceutical compositions, and use

INVENTOR(S): Sahoo, Soumya P.; Koyama, Hiroo; Miller, Daniel J.; Boueres, Julia K.; Desai, Ranjit C.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 42 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002103242	A1	20020801	US 2001-21667	20011029
WO 2002060434	A2	20020808	WO 2001-US49501	20011026
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2000-244698P P 20001031

OTHER SOURCE(S): MARPAT 137:140435

GI

/ Structure 1 in file .gra /

AB A class of benzopyrancarboxylic acid derivs. is disclosed, which comprises compds. that are potent agonists (no data) of peroxisome proliferator activated receptors (PPAR) alpha and/or gamma, and are therefore useful in the treatment, control, or prevention of non-insulin dependent diabetes mellitus (NIDDM), hyperglycemia, dyslipidemia, hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, atherosclerosis, obesity, vascular restenosis, inflammation, and other PPAR alpha and/or gamma mediated diseases, disorders and conditions. In particular, compds. I and their pharmaceutically acceptable salts and/or prodrugs are disclosed [wherein: Z = CH₂, CO; R₁ = H, OH, halo, (un) substituted alk(en/yn)yl, alk(en/yn)yloxy, or aryl; or R₁ forms (un) substituted cyclopropane fusion to adjacent C atom; X, Y = O, S, SO, SO₂, CH₂, (un) substituted NH; n = 1-6; R₄ = (un) substituted benzoheterocyclyl, cycloalkyl, heterocyclyl, cycloalkyloxy, halo, OH or derivs., alk(en/yn)yl, alk(en/yn)yloxy, or aryl, etc.; other R groups = H, halo, OH, (un) substituted alk(en/yn)yl,

dim(Ch₂/Ph, yicyx), aryl, arylsO₂, arylO₂, etc.; or R₃R₄ or R₄R₅ = (un)substituted 5- or 6-membered heterocyclic ring]. A list of compds. is claimed, and their prepn. is described. For example, Et 7-hydroxy-4-oxo-4H-chromene-2-carboxylate underwent a sequence of: (1) complete hydrogenation of the enone (98%), (2) etherification of the alc. with PhCH₂O(CH₂)₃Br (66%), (3) alpha ethylation of the ester (70%), (4) hydrogenolytic debenzylation (100%), (5) conversion of the resultant alc. to a bromide (96%), (6) etherification of the bromide with 3-(trifluoromethyl)-7-propyl-6-hydroxybenz[4,5]isoxazole (85%), and (7) alk. hydrolysis (100%), to give title compd. II. PPAR binding assays using human recombinant PPAR are described without data. Co-administration of compds. I with a variety of other drug categories, including a no. of specific drugs, is claimed.

L14 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:472729 CAPLUS

DOCUMENT NUMBER: 135:56101

TITLE: Aromatic phosphonates as protein tyrosine phosphatase 1B (PTP-1B) inhibitors

INVENTOR(S): Leblanc, Yves; Dufresne, Claude; Gauthier, Jacques Yves; Young, Robert

PATENT ASSIGNEE(S): Merck Frosst Canada & Co., Can.

SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001046204	A1	20010628	WO 2000-CA1548	20001221
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002052347	A1	20020502	US 2000-745220	20001221
US 6448429	B2	20020910		
EP 1242431	A1	20020925	EP 2000-986933	20001221
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRIORITY APPLN. INFO.:			US 1999-171427P	P 19991222
			WO 2000-CA1548	W 20001221

OTHER SOURCE(S): MARPAT 135:56101

AB The invention provides arom. phosphonates which are inhibitors of PTP-1B. The invention also encompasses pharmaceutical compns. and methods of treating or preventing PTP-1B-mediated diseases, including diabetes, obesity, and diabetes-related diseases. Prepn. of [2-bromo-4-(2-(3-bromo-4-(difluoro(phosphono)methyl)benzyl)-3-oxo-2,3-diphenylpropyl)phenyl](difluoro)methylphosphonic acid is described.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:31483 CAPLUS

DOCUMENT NUMBER: 134:100873

TITLE: Preparation of selective NPY (Y₅) antagonists and pharmaceutical compositions thereof for treating an abnormality modulated by human Y₅ receptor activity.

INVENTOR(S): Marzabadi, Mohammad R.; Wong, Wai C.; Noble, Stewart A.; Buhlmayer, Peter; Rueger, Heinrich; Yamaguchi, Yasuchika; Schilling, Walter

PATENT ASSIGNEE(S): Synaptic Pharmaceutical Corporation, USA; Novartis A.-G.

SOURCE: PCT Int. Appl., 299 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001002379	A1	20010111	WO 2000-US11004	20000421
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6214853	B1	20010410	US 1999-343633	19990630
US 6222040	B1	20010424	US 1999-343993	19990630
US 6225330	B1	20010501	US 1999-343635	19990630
EP 1194421	A1	20020410	EP 2000-923603	20000421
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
PRIORITY APPLN. INFO.:			US 1999-343633	A2 19990630
			US 1999-343635	A2 19990630
			US 1999-343993	A2 19990630
			WO 2000-US11004	W 20000421

OTHER SOURCE(S): MARPAT 134:100873
GI

/ Structure 2 in file .gra /

AB This invention discloses the prepn. of NPY (Y5) antagonists of formula I (R1 = H, F, Cl, Br, CN, OH, alkyl, amine derivs., sulfur derivs., etc.; X = O, NR3, CHR3; R3 = H or alkyl; W = O, NH or S; R2 = amine derivs.; Y = (CH2)m; Z = (CH2)n; m = 0 or 1; n = 1 or 2) and II (R4 = H, alkyl, alkoxyalkyl, (un)substituted phenyl; R5 = (un)substituted cycloalkylalkylamine derivs.; R6 = H, F, Cl, Br, CN, OH, NO2, amine deriv., etc.; R7 = R6 with provision that when one R7 = Ph, heteroaryl or phenylalkyl the other R7 = H). Thus, benzocycloheptathiazolamine III was prep'd. in two steps from 4-(dimethylaminosulfonylaminomethyl)cyclohexylamine (Ki = 2.1 nM for binding to cloned human NPY-5 receptors). The invention provides a pharmaceutical compn. comprising a therapeutically effective amt. of a compd. of the invention and a pharmaceutically acceptable carrier and a process for making this compn. Compds. of this invention, or their pharmaceutical compns. may be used for treating an abnormality, wherein the abnormality is alleviated by decreasing the activity of a human Y5 receptor, e.g., eating disorders, sleep disorders, reproductive disorders, etc.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:238056 CAPLUS

DOCUMENT NUMBER: 132:274335

TITLE: Amide derivatives, preparation, ***pharmaceutical*** ***compositions***, and methods for using them as selective ***neuropeptide*** ***Y*** ***receptor*** ***antagonists***

INVENTOR(S): Connell, Richard D.; Lease, Timothy G.; Ladouceur, Gaetan H.; Osterhout, Martin H.

PATENT ASSIGNEE(S): Bayer Corporation, USA

SOURCE: U.S., 25 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	KIND	DATE	APPLICATION NO.	DATE
US 6048900	A	20000411	US 1998-23498	19980213
US 6410792	B1	20020625	US 1999-294961	19990420
PRIORITY APPLN. INFO.:			US 1997-135105P	P 19970214
			US 1998-23498	A3 19980213

OTHER SOURCE(S): MARPAT 132:274335

AB Amide derivs. and methods of administering the compns. to mammals to treat disorders such as obesity that are mediated by NPY and esp. those mediated by NPY via the Y5 receptor.

REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:31342 CAPLUS

DOCUMENT NUMBER: 132:88195

TITLE: Neuropeptide Y agonist and antagonist peptides for control of appetite, blood pressure, cardiovascular response, libido, and circadian rhythm

INVENTOR(S): Balasubramanian, Ambikaipakan; Chance, William T.

PATENT ASSIGNEE(S): University of Cincinnati, USA

SOURCE: U.S., 17 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6013633	A	20000111	US 1997-907403	19970807
US 6235718	B1	20010522	US 1999-449914	19991202

PRIORITY APPLN. INFO.: US 1997-907403 A3 19970807

OTHER SOURCE(S): MARPAT 132:88195

AB Dipeptides and tripeptides, and methods for pharmaceutical treatment of mammals using analogs of such dipeptides and tripeptides, are provided. More specifically, the invention relates to tripeptides and their analogs, to ***pharmaceutical*** ***compsns*** . contg. such dipeptides and tripeptides, and to methods of treatment of mammals using such dipeptides and tripeptides. In addn., the invention relates to methods of treatment of mammals using such dipeptides and tripeptides for control of appetite, blood pressure, cardiovascular response, libido, and circadian rhythm. The compds. of the invention are ***neuropeptide*** ***y*** ***receptor*** ***agonists*** and ***antagonists*** .

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1996:417925 CAPLUS

DOCUMENT NUMBER: 125:67779

TITLE: ***Pharmaceutical*** ***compositions*** containing ***neuropeptide*** ***y*** ***receptor*** ***antagonists***

INVENTOR(S): Bruns, Robert Frederick, Jr.; Gehlert, Donald Richard; Howbert, James Jeffry; Lunn, William Henry Walker

PATENT ASSIGNEE(S): Lilly, Eli, and Co., USA

SOURCE: PCT Int. Appl., 123 pp.

CODEN: PIIXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9612490	A1	19960502	WO 1995-US13246	19951019
W: AL, AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE,				

US 5504094	A	1996040	US 1995-517303	19950821
US 5567714	A	19961022	US 1995-517049	19950821
US 5567715	A	19961022	US 1995-517315	19950821
US 5576337	A	19961119	US 1995-517316	19950821
ZA 9508800	A	19970418	ZA 1995-8800	19951018
IL 115663	A1	19990817	IL 1995-115663	19951018
TW 410156	B	20001101	TW 1995-84110967	19951018
AU 9538955	A1	19960515	AU 1995-38955	19951019
AU 689664	B2	19980402		
EP 785785	A1	19970730	EP 1995-938248	19951019
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CN 1160998	A	19971001	CN 1995-195703	19951019
CN 1091598	B	20021002		
HU 76852	A2	19971229	HU 1997-1520	19951019
JP 10507757	T2	19980728	JP 1995-514008	19951019
CZ 287411	B6	20001115	CZ 1997-1159	19951019
NO 9701520	A	19970403	NO 1997-1520	19970403
FI 9701635	A	19970417	FI 1997-1635	19970417
PRIORITY APPLN. INFO.:		US 1994-326675	A	19941020
		WO 1995-US13246	W	19951019

OTHER SOURCE(S): MARPAT 125:67779
GI

/ Structure 3 in file .gra /

AB ***Pharmaceutical*** ***compns*** . contain ***neuropeptide***
 Y ***receptor*** ***antagonists*** [I; R1, R3 =
 independently H, -CH3, -CO(C1-6 alkyl), -COAr, (Ar = substituted Ph); R2 =
 pyrrolidine, hexamethyleneimino, and piperidino] or a pharmaceutically
 acceptable salt of solvate thereof. The IC50 of (II; R1= CH3, R2=
 1-piperidine, R3 = H) in ***neuropeptide*** ***Y*** binding assay
 was .apprx.12 .mu.M. A pharmaceutical capsule contained raloxifene 1,
 starch 112, starch flowable powder 225.3, and silicone fluid 350 cSt 1.7
 mg.

=> d his

(FILE 'HOME' ENTERED AT 10:34:45 ON 23 DEC 2002)

FILE 'MEDLINE, CAPLUS, BIOSIS, EMBASE, SCISEARCH, AGRICOLA' ENTERED AT
 10:35:09 ON 23 DEC 2002

L1	5 S AC-TRP-ARG-TYR-NH2
L2	1 DUPLICATE REMOVE L1 (4 DUPLICATES REMOVED)
L3	45216 S NEUROPEPTIDE Y
L4	6708 S L3 (P) (AGONIST OR ANTAGONIST)
L5	3623 S NEUROPEPTIDE (W) Y (W) RECEPTOR
L6	1053 S L4 (P) L5
L7	33 S TRP-ARG-TYR
L8	0 S L6 (P) L7
L9	148 S CATIONIZED ALBUMIN
L10	15914 S POLYLYSINE
L11	0 S L6 (P) (L9 OR L10) (P) CONJUGATE
L12	24045 S (PHARMACEUTICAL OR THERAPEUTIC) (W) COMPOSITION
L13	9 S L12 (P) L6
L14	9 DUPLICATE REMOVE L13 (0 DUPLICATES REMOVED)

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	65.66	65.87

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-5.58	-5.58

STN INTERNATIONAL LOGOFF AT 10:40:46 ON 23 DEC 2002